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(54) Title: FUNGICIDES

(57) Abstract: The use as a plant fungicide of a compound of general formula (I); wherein R is H, halo,  $C_{\ell}1$ -8? alkyl or cyano; X and Y are independently halo,  $C_{\ell}1$ -8? alkoxy,  $C_{\ell}1$ -8? alkylthio, aryloxy, arylthio, heteroaryloxy, heteroarylthio, aryl( $C_{\ell}1$ -4?)-alkoxy, heteroaryl( $C_{\ell}1$ -4?)alkoxy, aryl( $C_{\ell}1$ -4?)alkylthio, heteroaryl( $C_{\ell}1$ -4?)alkylthio,  $C_{\ell}1$ -8? alkylamino,  $C_{\ell}2$ -8? alkynylamino, di( $C_{\ell}1$ -8?)alkylamino, di( $C_{\ell}1$ -8?)-alkenylamino, di( $C_{\ell}1$ -8?)-alkynylamino, di( $C_{\ell}1$ -8?)-alkynylamino, aryl( $C_{\ell}1$ -8?)-alkynylamino arylamino, aryl( $C_{\ell}1$ -8?)alkylamino, heteroarylamino, heteroaryl( $C_{\ell}1$ -8? alkyl) amino, aryl( $C_{\ell}1$ -4?)alkylamino, aryl( $C_{\ell}1$ -4?)alkylamino, heteroaryl( $C_{\ell}1$ -8? alkyl) amino, aryl( $C_{\ell}1$ -4?)alkylamino, or Y is hydroxy, provided that when X is  $C_{\ell}1$ -8? alkoxy, aryloxy, morpholino or piperidino, R and Y are not both halo; any of the foregoing alkyl, alkenyl, alkynyl, aryl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted. Also included are plant fungicidal compositions containing these compounds and many of the compounds themselves.



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#### **CLAIMS**

1. The use as a plant fungicide of a compound of the general formula (I):

wherein R is H, halo, C<sub>1-8</sub> alkyl or cyano; X and Y are independently halo, C<sub>1-8</sub> alkoxy, C<sub>1-8</sub> alkylthio, aryloxy, arylthio, heteroaryloxy, heteroarylthio, aryl(C<sub>1-4</sub>)alkoxy, heteroaryl(C<sub>1-4</sub>)alkoxy, aryl(C<sub>1-4</sub>)alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, di(C<sub>2-8</sub>)alkynylamino, di(C<sub>2-8</sub>)alkynylamino, C<sub>2-8</sub> alkenyl(C<sub>1-8</sub>)alkynylamino C<sub>2-8</sub> alkynyl(C<sub>1-8</sub>)alkylamino or C<sub>2-8</sub> alkenyl(C<sub>1-8</sub>)alkylamino arylamino, aryl(C<sub>1-8</sub> alkyl)amino, heteroarylamino, heteroaryl(C<sub>1-8</sub> alkyl)amino, aryl(C<sub>1-4</sub>)alkylamino, aryl(C<sub>1-4</sub>)alkyl-(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-4</sub>)alkylamino, heteroaryl(C<sub>1-4</sub>)alkylamino, morpholino or piperidino, or Y is hydroxy, provided that when X is C<sub>1-8</sub> alkoxy, aryloxy, morpholino or piperidino, R and Y are not both halo; any of the foregoing alkyl, alkenyl, alkynyl, aryl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted.

2. The use as a plant fungicide of a compound of the general formula (I) according to claim 1 wherein R is H, halo, C<sub>1-8</sub> alkyl or cyano; X and Y are independently halo, C<sub>1-8</sub> alkoxy, C<sub>1-8</sub> alkylthio, aryloxy, arylthio, heteroaryloxy, heteroarylthio, aryl(C<sub>1-4</sub>)-alkoxy, heteroaryl(C<sub>1-4</sub>)alkoxy, aryl(C<sub>1-4</sub>)alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, arylamino, aryl(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-8</sub> alkyl)amino, aryl(C<sub>1-4</sub>)alkyl-amino, aryl(C<sub>1-4</sub>)alkyl(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-4</sub>)alkylamino or heteroaryl-(C<sub>1-4</sub>)alkyl (C<sub>1-8</sub> alkyl)amino or Y is hydroxy, morpholino or piperidino, provided that when X is other than halo, R and Y are not both halo; any of the foregoing alkyl, alkenyl, alkynyl, aryl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted.

3. The use as a plant fungicide of a compound of the general formula (I) according to claim 1 wherein R is H, halo, C<sub>1-8</sub> alkyl or cyano; X is halo, C<sub>1-8</sub> alkylthio, arylthio, heteroaryloxy, heteroarylthio, aryl $(C_{1-4})$ alkylthio, heteroaryl $(C_{1-4})$ alkylthio,  $C_{1-8}$ alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, arylamino, 5  $aryl(C_{1-8} alkyl)amino, heteroarylamino, heteroaryl(C_{1-8} alkyl)amino, aryl(C_{1-4})alkyl$ amino, aryl(C<sub>1-4</sub>)alkyl(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-4</sub>)alkylamino or heteroaryl-(C<sub>1-4</sub>)alkyl (C<sub>1-8</sub> alkyl)amino; and Y is halo, hydroxy, C<sub>1-8</sub> alkoxy, C<sub>1-8</sub> alkylthio, aryloxy, arylthio, heteroaryloxy, heteroarylthio, aryl $(C_{1-4})$ alkoxy, heteroaryl $(C_{1-4})$ alkoxy, aryl(C<sub>1-4</sub>)alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenyl-10 amino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, arylamino, aryl(C<sub>1-8</sub> alkyl)amino, heteroarylamino, heteroaryl( $C_{1-8}$  alkyl)amino, aryl( $C_{1-4}$ )alkylamino, aryl( $C_{1-4}$ )alkyl- $(C_{1-8} \text{ alkyl})$ amino, heteroaryl $(C_{1-4})$ alkylamino or heteroaryl $(C_{1-4})$ alkyl $(C_{1-8} \text{ alkyl})$ amino, morpholino or piperidino; any of the foregoing alkyl, alkenyl, alkynyl, aryl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted.

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- 4. The use as a plant fungicide of a compound of the general formula (I) according to any one of the preceding claims wherein R is H, halo or C<sub>1.4</sub> alkyl.
- 5. The use as a plant fungicide of a compound of the general formula (I) according to

  any one of the preceding claims wherein when one of X or Y is aryloxy, arylthio,
  heteroaryloxy, heteroarylthio, aryl(C<sub>1-4</sub>)alkoxy, heteroaryl(C<sub>1-4</sub>)alkoxy, aryl(C<sub>1-4</sub>)alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, arylamino, aryl(C<sub>1-8</sub> alkyl)amino, heteroarylamino, heteroaryl(C<sub>1-8</sub> alkyl)amino, aryl(C<sub>1-4</sub>)alkylamino, aryl(C<sub>1-4</sub>)alkyl(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-4</sub>)alkylamino or heteroaryl(C<sub>1-4</sub>)alkyl(C<sub>1-8</sub> alkyl)amino the other
  is halo, C<sub>1-8</sub> alkoxy, C<sub>1-8</sub> alkylthio, C<sub>1-8</sub> alkylamino, di(C<sub>1-8</sub>)alkylamino, or, in the case
  of Y, hydroxy.
- The use as a plant fungicide of a compound of the general formula (I) according to claim 1 wherein R is H, halo, C<sub>1-8</sub> alkyl or cyano; X is halo, C<sub>1-8</sub> alkoxy, C<sub>1-8</sub>
   alkylthio, C<sub>1-8</sub> alkylamino or di(C<sub>1-8</sub>)alkylamino and Y is aryloxy, arylthio, heteroaryloxy, heteroarylthio, aryl(C<sub>1-4</sub>)alkoxy, heteroaryl(C<sub>1-4</sub>)alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkynylamino, C<sub>2-8</sub> alkynylamino,

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di( $C_{1-8}$ )alkylamino, arylamino, aryl( $C_{1-8}$  alkyl)amino, heteroarylamino, heteroaryl( $C_{1-8}$  alkyl)amino, aryl( $C_{1-4}$ )alkylamino, aryl( $C_{1-4}$ )alkyl( $C_{1-8}$  alkyl)amino, heteroaryl( $C_{1-4}$ )-alkylamino, heteroaryl( $C_{1-4}$ )alkyl( $C_{1-8}$  alkyl)amino, morpholino or piperidino; any of the foregoing alkyl moieties being optionally substituted with halo and any of the foregoing aryl or heteroaryl groups being optionally substituted with halo,  $C_{1-4}$  alkyl,  $C_{1-4}$  alkoxy, halo( $C_{1-4}$ )alkyl, halo( $C_{1-4}$ )alkoxy, cyano, nitro, amino,  $C_{1-4}$  alkylamino or di( $C_{1-4}$ )alkylamino.

- 7. The use as a plant fungicide of a compound of the general formula (I) according to 10 claim 1 wherein R is H, halo, C<sub>1-8</sub> alkyl or cyano X is aryloxy, arylthio, heteroaryloxy, heteroarylthio,  $aryl(C_{1-4})$ alkoxy, heteroaryl $(C_{1-4})$ alkoxy,  $aryl(C_{1-4})$ alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino,  $di(C_{1-8})$ alkylamino, aryl $(C_{1-8})$ alkylamino, heteroaryl $(C_{1-8})$ alkylamino, heteroaryl $(C_{1-8})$ alkyl)amino, aryl( $C_{1-4}$ )alkylamino, aryl( $C_{1-4}$ )alkyl( $C_{1-8}$  alkyl)amino, heteroaryl( $C_{1-4}$ )alkylamino, or heteroaryl(C<sub>1-4</sub>)alkyl(C<sub>1-8</sub> alkyl)amino; and Y is halo, hydroxy, C<sub>1-8</sub> 15 alkoxy, C<sub>1-8</sub> alkylthio, C<sub>1-8</sub> alkylamino or di(C<sub>1-8</sub>)alkylamino; any of the foregoing alkyl moieties being optionally substituted with halo and any of the foregoing aryl or heteroaryl groups being optionally substituted with halo, C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, halo(C<sub>1-4</sub>)alkyl, halo(C<sub>1-4</sub>)alkoxy, cyano, nitro, amino, C<sub>1-4</sub> alkylamino or di(C<sub>1-4</sub>)-20 alkylamino.
  - 8. The use as a plant fungicide of a compound of the general formula (I) according to claim 1 wherein R is halo; X is phenoxy, phenylthio, heteroaryloxy, heteroarylthio, morpholino or piperidino; and Y is C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, di(C<sub>2-8</sub>)alkynylamino, di(C<sub>2-8</sub>)alkynylamino, C<sub>2-8</sub> alkenyl(C<sub>1-8</sub>)alkynylamino or C<sub>2-8</sub> alkenyl(C<sub>1-8</sub>)alkylamino or C<sub>2-8</sub> alkenyl, alkynyl, phenyl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted.
- The use as a plant fungicide of a compound of the general formula (I) wherein R is halo; X is phenylthio, heteroaryloxy or heteroarylthio; and Y is halo; any of the foregoing phenyl or heteroaryl moieties being optionally substituted.

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### 10. A compound of general formula (I):

wherein R is H, halo,  $C_{1-8}$  alkyl or cyano; X and Y are independently halo,  $C_{1-8}$  alkoxy,  $C_{1-8}$  alkylthio, aryloxy, arylthio, heteroaryloxy, heteroarylthio, aryl( $C_{1-4}$ )alkoxy, heteroaryl( $C_{1-4}$ )alkoxy, aryl( $C_{1-4}$ )alkylthio, heteroaryl( $C_{1-4}$ )alkylthio,  $C_{1-8}$  alkylamino,  $C_{2-8}$  alkenylamino,  $C_{2-8}$  alkynylamino, di( $C_{1-8}$ )alkylamino, arylamino, aryl( $C_{1-8}$  alkyl)amino, heteroarylamino, heteroaryl( $C_{1-8}$  alkyl)amino, aryl( $C_{1-4}$ )alkyl-amino, aryl( $C_{1-4}$ )alkyl( $C_{1-8}$  alkyl)amino or Y is hydroxy, morpholino or piperidino; any of the foregoing alkyl, alkenyl, alkynyl, aryl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted; provided that when X is other than halo, R and Y are not both halo, that when X is bromo and R is methyl, Y is not diethylamino or 3-(diethylamino)-propylamino, that when X is chloro and R is methyl, Y is not benzylamino and that when X is bromo or chloro and R is H or methyl, Y is not chloro or hydroxy.

A compound of general formula (I) wherein R is H, halo, C<sub>1-8</sub> alkyl or cyano; X is halo, C<sub>1-8</sub> alkylthio, arylthio, heteroaryloxy, heteroarylthio, aryl(C<sub>1-4</sub>)alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, arylamino, aryl(C<sub>1-8</sub> alkyl)amino, heteroarylamino, heteroaryl(C<sub>1-8</sub> alkyl)amino, aryl(C<sub>1-4</sub>)alkylamino, aryl(C<sub>1-4</sub>)alkyl(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-4</sub>)-alkylamino or heteroaryl(C<sub>1-4</sub>)alkyl (C<sub>1-8</sub> alkyl)amino; and Y is halo, hydroxy, C<sub>1-8</sub> alkoxy, C<sub>1-8</sub> alkylthio, aryloxy, arylthio, heteroaryloxy, heteroarylthio, aryl(C<sub>1-4</sub>)-alkoxy, heteroaryl(C<sub>1-4</sub>)alkoxy, aryl(C<sub>1-4</sub>)alkylthio, heteroaryl(C<sub>1-4</sub>)alkylthio, C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, arylamino, aryl(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-8</sub> alkyl)amino, aryl(C<sub>1-4</sub>)alkyl-amino, aryl(C<sub>1-4</sub>)alkyl(C<sub>1-8</sub> alkyl)amino, heteroaryl(C<sub>1-4</sub>)alkylamino or heteroaryl-(C<sub>1-4</sub>)alkyl (C<sub>1-8</sub> alkyl)amino, morpholino or piperidino; any of the foregoing alkyl,

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alkenyl, alkynyl, aryl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted; provided that when X is bromo and R is methyl, Y is not diethylamino or 3-(diethylamino)-propylamino, that when X is chloro and R is methyl, Y is not benzylamino and that when X is bromo or chloro and R is H or methyl, Y is not chloro or hydroxy.

- A compound of the general formula (I) as defined in claim 1 wherein R is halo; X is phenoxy, phenylthio, heteroaryloxy, heteroarylthio, morpholino or piperidino; and Y is C<sub>1-8</sub> alkylamino, C<sub>2-8</sub> alkenylamino, C<sub>2-8</sub> alkynylamino, di(C<sub>1-8</sub>)alkylamino, di(C<sub>2-8</sub>)-alkenylamino, di(C<sub>2-8</sub>)alkynylamino, C<sub>2-8</sub> alkenyl(C<sub>2-8</sub>)alkynylamino C<sub>2-8</sub> alkynyl-(C<sub>1-8</sub>) alkylamino or C<sub>2-8</sub> alkenyl(C<sub>1-8</sub>)alkylamino; any of the foregoing alkyl, alkenyl, alkynyl, phenyl, heteroaryl, morpholino or piperidino groups or moieties being optionally substituted.
- 13. A compound of the general formula (I) as defined in claim 1 wherein R is halo; X is phenylthio, heteroaryloxy or heteroarylthio; and Y is halo; any of the foregoing phenyl or heteroaryl moieties being optionally substituted.
- 14. A plant fungicidal composition comprising a fungicidally effective amount of a compound as defined in claim 1 and a suitable carrier or diluent therefor.
  - 15. A method of combating or controlling phytopathogenic fungi which comprises applying to a plant, to a seed of a plant, to the locus of the plant or seed or to soil or to any other plant growth medium, a fungicidally effective amount of a compound as defined in claim 1 or a composition as defined in claim 14.